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                EPFULL enhanced with additional content
NEWS 15
        OCT 27
NEWS EXPRESS
             JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005
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COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 2.10 2.10

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=>

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L1

STRUCTURE ÚPLOADED

=>

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L2

STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1

STR

Structure attributes must be viewed using STN Express query preparation.

=> d 12

L2 HAS NO ANSWERS

L2

STR

G1 CF2, CCl2, CBr2, SO2, CN, NO2, [@1], [@2]

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 18:41:06 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED -

2 TO ITERATE

100.0% PROCESSED

2 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

2 TO 124

PROJECTED ANSWERS:

0 TO 0

L3

0 SEA SSS SAM L1

=> s 12

SAMPLE SEARCH INITIATED 18:41:09 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED -

27 TO ITERATE

100.0% PROCESSED

27 ITERATIONS

8 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

229 TO . 851

PROJECTED ANSWERS:

8 TO

329

T.4

8 SEA SSS SAM L2

=> search l1

ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:.

ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET: full

FULL SEARCH INITIATED 18:41:15 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -

87 TO ITERATE

100.0% PROCESSED

87 ITERATIONS

12 ANSWERS

SEARCH TIME: 00.00.01

L5

12 SEA SSS FUL L1

=> search 12

ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:.

ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET: full

FULL SEARCH INITIATED 18:41:22 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -

475 TO ITERATE

100.0% PROCESSED

475 ITERATIONS

144 ANSWERS

SEARCH TIME: 00.00.01

L6

144 SEA SSS FUL L2

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

ENTRY 322.66 SESSION 324.76

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=> s 15 or 16

8 L5

5 L6

L7

9 L5 OR L6

=> d 17 fbib ab hitstr 1-9

- ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN L7
- 2004:220311 CAPLUS AN
- DN 140:271195
- ΤI Preparation of N'-arylaminocarbothioyl glycinamides as glycine transport inhibitors for treating pain and spasticity
- Isaac, Methvin; Xin, Tao; Stefanac, Tomaslav; O'Brien, Anne; Da Silva, IN Kathleen; Arora, Jalaj; Maddaford, Shawn; Slassi, Abdelmalik
- PA Nps Allelix Corp., Can.
- PCT Int. Appl., 173 pp. SO CODEN: PIXXD2
- DT Patent
- LΑ English

| FAN.       | CNT 1   |             |     |     |     |           |      |      |                 |      |      |     |            |     |      |     |     |  |
|------------|---------|-------------|-----|-----|-----|-----------|------|------|-----------------|------|------|-----|------------|-----|------|-----|-----|--|
| PATENT NO. |         |             |     |     |     | KIND DATE |      |      | APPLICATION NO. |      |      |     |            |     | DATE |     |     |  |
|            |         |             |     |     |     |           |      |      |                 |      |      |     |            |     |      |     |     |  |
| ΡI         | WO 2004 | A1 20040318 |     |     | 1   | WO 2      | 003- | CA13 | 20030909        |      |      |     |            |     |      |     |     |  |
|            | W:      | ΑE,         | AG, | AL, | AM, | ΑT,       | AU,  | ΑZ,  | BA,             | BB,  | BG,  | BR, | BY,        | ΒZ, | CA,  | CH, | CN, |  |
|            |         | CO,         | CR, | CU, | CZ, | DE,       | DK,  | DM,  | DZ,             | EC,  | EE,  | ES, | FI,        | GB, | GD,  | GE, | GH, |  |
|            |         | GM,         | HR, | HU, | ID, | IL,       | IN,  | IS,  | JP,             | ΚE,  | KG,  | ΚP, | KR,        | KZ, | LC,  | LK, | LR, |  |
|            |         | LS,         | LT, | LU, | LV, | MA,       | MD,  | MG,  | MK,             | MN,  | MW,  | MX, | MZ,        | NI, | NO,  | NZ, | OM, |  |
|            |         | PG,         | PH, | PL, | PT, | RO,       | RU,  | SC,  | SD,             | SE,  | SG,  | SK, | SL,        | SY, | ТJ,  | TM, | TN, |  |
|            |         | TR,         | TT, | TZ, | UA, | UG,       | UZ,  | VC,  | VN,             | YU,  | ZA,  | ZM, | ZW         |     |      |     |     |  |
|            | RW:     | GH,         | GM, | ΚE, | LS, | MW,       | MZ,  | SD,  | SL,             | SZ,  | TZ,  | UG, | ZM,        | ZW, | AM,  | ΑZ, | BY, |  |
|            |         | KG,         | KZ, | MD, | RU, | TJ,       | TM,  | AT,  | BE,             | BG,  | CH,  | CY, | CZ,        | DE, | DK,  | EE, | ES, |  |
|            |         | FI,         | FR, | GB, | GR, | HU,       | ΙE,  | ΙT,  | LU,             | MC,  | NL,  | PT, | RO,        | SE, | SI,  | SK, | TR, |  |
|            |         | BF,         | ВJ, | CF, | CG, | CI,       | CM,  | GA,  | GN,             | GQ,  | GW,  | ML, | MR,        | NE, | SN,  | TD, | TG  |  |
|            |         |             |     |     |     |           |      |      | 1               | US 2 | 002- | ]   | P 20020909 |     |      |     |     |  |

AB

US 2004152740 A1 20040805 US 2003-657812 20030908 US 2002-409420P P 20020909

OS MARPAT 140:271195

The present invention relates to R1NHC(O)CR2R3NHC(S)NHAr1 (I; e.g. N-(2,6-dimethylphenyl)-2-[3-[4-(dimethylsulfamoyl)-2nitrophenyl]thioureido]-2-phenylacetamide (II)) and salts, solvates and hydrates thereof. The invention further relates to pharmaceutical compns. containing said compds. and methods of treating neurol. and neuropsychiatric disorders using said compds. IC50 values for 15 examples of I for inhibiting the GlyT-2 glycine transporter are tabulated, e.g. 14.22 nM for Although the methods of preparation are not claimed, many example prepns. are included. For example, II was prepared in 94% yield from 2-amino-N-(2,6-dimethylphenyl)-2-phenylacetamide and 2-nitro-4-(dimethylsulfamoyl)phenyl isothiocyanate. For I: R1 = aryl, heteroaryl, cycloalkyl and heterocycloalkyl; wherein Rl is (un) substituted with ≥1 substituents Ra; wherein Ra = alkyl, alkoxy, halo, cyano, alkanoyl, haloalkyl, thioalkyl, nitro, aryl, heteroaryl, aralkyl, heteroaralkyl and -(R7)nNR8R9 (R7 = alkyl, alkoxy, and oxyalkyl, R8 and R9 = H, and alkyl, or R8 and R9 can join together such that NR8R9 form a 5 or 6-member heterocyclic ring, and n = 0-3) wherein the substituent(s) Ra is optionally further substituted with  $\geq 1$  substituents = alkyl, alkoxy, halo, cyano, alkanoyl, haloalkyl, thioalkyl, nitro, and -(R7) nNR8R9. R2 and R3 are: (a) independently H, alkyl, aralkyl (un) substituted aryl, (un) substituted heteroaryl and (un) substituted, (un)saturated, 5- or 6-membered, homocyclic or heterocyclic rings wherein the optional substituent may be H, alkyl, alkoxy, and halo; or (b) joined together to form a 3-7 member spirocyclic ring. Arl is aryl and is (un) substituted with ≥1 substituents Rb = alkyl, alkoxy, halo, haloalkyl, nitro, -(R7)nNR8R9, alkanoyl, aryl, heteroaryl, -O(CH2)mNR10R11 and -SO2NR10R11 (R7 = alkyl, alkoxy and oxyalkyl; R8 and R9 = H, and alkyl, or R8 and R9 can join together such that NR8R9 form a 5 or 6-member heterocyclic ring, and n = 0-3) and the groups R10 and R11 = H, or alkyl, or groups R10 and R11 can join together such that NR10R11 form a 5 or 6-member ring, and m = 1-5; Rb are optionally further substituted. When Arl is Ph then (a) Arl has a substituent Rb at the 2-position wherein the substituent = nitro, haloalkyl, cyano, - C(O)R12 -C(O)OR12, -C(O)NR12R12, -S(0)R12, -S(0)2R12, and -S(0)2NR12R13 (wherein R12 and R13 = H and alkyl) or (b) Arl has an alkanoyl substituent at the 4-position. 672961-09-0P, N-(2,6-Dimethylphenyl)-2-[3-[4-[2-(N,Ndimethylamino) ethoxy] -2-nitrophenyl]thioureido] -2-phenylacetamide RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of N'-arylaminocarbothioyl glycinamides as glycine transport inhibitors for treating pain and spasticity)

672961-09-0 CAPLUS

Benzeneacetamide,  $\alpha$ -[[[[4-[2-(dimethylamino)ethoxy]-2-nitrophenyl]amino]thioxomethyl]amino]-N-(2,6-dimethylphenyl)- (9CI) (CFINDEX NAME)

IT

RN

CN

```
672959-44-3P, N-(2-Methylphenyl)-2-[3-(2-nitrophenyl)thioureido]-2-
ΙT
     methylacetamide 672959-52-3P, N-(2-Methylphenyl)-2-[3-(2-
     nitrophenyl)thioureido]-2-isopropylacetamide 672959-57-8P,
     (R) - N - (2 - Methylphenyl) - 2 - [3 - (2 - nitrophenyl) thioureido] - 2 -
     isopropylacetamide 672959-62-5P, (S)-N-(2-Methylphenyl)-2-[3-(2-
     nitrophenyl)thioureido]-2-isopropylacetamide 672959-67-0P,
     N-(2-Methylphenyl)-2-[3-(2-nitrophenyl)thioureido]-2-phenylacetamide
     672959-68-1P, N-(2-Methylphenyl)-2-[3-(4-ethoxy-2-
     nitrophenyl)thioureido]-2-phenylacetamide 672959-69-2P,
     N-(2-Methylphenyl)-2-[3-(2-nitro-4-methoxyphenyl)thioureido]-2-
     phenylacetamide 672959-70-5P, N-(2-Methylphenyl)-2-[3-(2-
     trifluoromethylphenyl)thioureido]-2-phenylacetamide 672959-72-7P
     , N-(2-Methylphenyl)-2-[3-(1-naphthyl)thioureido]-2-phenylacetamide
     672959-73-8P, N-(2-Methylphenyl)-2-[3-[4-(2-N,N-
     dimethylaminoethoxy) -2-nitrophenyl]thioureido] -2-phenylacetamide
     672959-79-4P, (R)-N-(2-Methylphenyl)-2-[3-(2-
     nitrophenyl)thioureido]-2-phenylacetamide 672959-80-7P,
     (R)-N-(2-Methylphenyl)-2-[3-(4-methoxy-2-nitrophenyl)thioureido]-2-
     phenylacetamide 672959-97-6P, N-(2-Methylphenyl)-2-[3-(2-
     nitrophenyl)thioureido]-2-benzylacetamide 672960-01-9P
     672960-16-6P, (S)-N-(2-Methylphenyl)-2-[3-(2-
     nitrophenyl)thioureido]-2-cyclohexylacetamide 672960-18-8P,
     (R) -N-(2-Methylphenyl)-2-[3-(2-nitrophenyl)thioureido]-2-
     cyclohexylacetamide 672960-24-6P, N-(2-Methylphenyl)-2-[3-(2-
     nitrophenyl)thioureido]-2-(2-methylpropyl)acetamide 672960-33-7P
     , N-(2-Methoxycarbonylphenyl)-2-[3-(2-nitrophenyl)thioureido]-2-
     phenylacetamide 672960-34-8P, N-(2-Methoxycarbonylphenyl)-2-[3-
     (2-nitro-4-methoxyphenyl)thioureido]-2-phenylacetamide
     672960-35-9P, N-(2-Methoxycarbonylphenyl)-2-[3-(2-
     trifluoromethylphenyl)thioureido]-2-phenylacetamide 672960-38-2P
     , N-(2-Cyanophenyl)-2-[3-(2-nitrophenyl)thioureido]-2-phenylacetamide
     672960-41-7P, N-(2-Methoxyphenyl)-2-[3-(2-nitrophenyl)thioureido]-
     2-phenylacetamide 672960-42-8P, N-(2-Methoxyphenyl)-2-[3-(2-
     nitro-4-methoxyphenyl)thioureido]-2-phenylacetamide 672960-43-9P
      N-(2-Methoxyphenyl)-2-[3-(2-trifluoromethylphenyl)thioureido]-2-
     phenylacetamide 672960-46-2P, N-(2-Methylthiophenyl)-2-[3-(2-
     nitrophenyl)thioureido]-2-phenylacetamide 672960-47-3P,
     N-(2-Methylthiophenyl)-2-[3-(2-nitro-4-methoxyphenyl)thioureido]-2-
     phenylacetamide 672960-48-4P, N-(2-Methylthiophenyl)-2-[3-(2-
     trifluoromethylphenyl)thioureido]-2-phenylacetamide 672960-51-9P
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     672960-52-0P, N-(2-Chlorophenyl)-2-[3-(4-methoxy-2-
     nitrophenyl)thioureido]-2-phenylacetamide 672960-53-1P,
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    phenylacetamide 672960-56-4P, N-(3-Chlorophenyl)-2-[3-(2-
     nitrophenyl)thioureido]-2-phenylacetamide 672960-57-5P,
     N-(3-Chlorophenyl)-2-[3-(2-trifluoromethylphenyl)thioureido]-2-
    phenylacetamide 672960-58-6P, N-(3-Chlorophenyl)-2-[3-(4-methoxy-
     2-nitrophenyl)thioureido]-2-phenylacetamide 672960-61-1P,
    N-(4-Chlorophenyl)-2-[3-(2-nitrophenyl)thioureido]-2-phenylacetamide
     672960-62-2P, N-(4-Chlorophenyl)-2-[3-(2-
     trifluoromethylphenyl)thioureido]-2-phenylacetamide 672960-63-3P
      N-(4-Chlorophenyl)-2-[3-(4-methoxy-2-nitrophenyl)thioureido]-2-
    phenylacetamide 672960-66-6P, N-(2,3-Dimethylphenyl)-2-[3-(2-
    nitrophenyl)thioureido]-2-phenylacetamide 672960-67-7P,
    N-(2,3-Dimethylphenyl)-2-[3-(2-trifluoromethylphenyl)thioureido]-2-
    phenylacetamide 672960-70-2P, N-(5,6,7,8-Tetrahydronaphthalen-1-
    yl)-2-[3-(2-nitrophenyl)thioureido]-2-phenylacetamide 672960-71-3P
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, N-(5,6,7,8-Tetrahydronaphthalen-1-yl)-2-[3-(2-
trifluoromethylphenyl)thioureido]-2-phenylacetamide 672960-74-6P
, N-(2-Methyl-4-chlorophenyl)-2-[3-(2-nitrophenyl)thioureido]-2-
phenylacetamide 672960-75-7P, N-(2-Methyl-4-chlorophenyl)-2-[3-
(2-trifluoromethylphenyl)thioureido]-2-phenylacetamide
672960-78-0P, N-(5-Phenyl-2-methylphenyl)-2-[3-(2-
nitrophenyl)thioureido]-2-phenylacetamide 672960-79-1P,
N-(5-Phenyl-2-methylphenyl)-2-[3-(2-trifluoromethylphenyl)thioureido]-2-
phenylacetamide 672960-82-6P, N-(4-Phenyl-2-methylphenyl)-2-[3-
(2-nitrophenyl)thioureido]-2-phenylacetamide 672960-83-7P,
N-(4-Phenyl-2-methylphenyl)-2-[3-(2-trifluoromethylphenyl)thioureido]-2-
phenylacetamide 672960-85-9P, N-(6-Ethyl-2-methylphenyl)-2-[3-(4-
methoxy-2-nitrophenyl)thioureido]-2-phenylacetamide 672960-88-2P
, N-(2-Isopropyl-6-methylphenyl)-2-[3-(4-methoxy-2-nitrophenyl)thioureido]-
2-phenylacetamide 672960-91-7P, N-(2-Chloro-6-methylphenyl)-2-[3-
(4-methoxy-2-nitrophenyl)thioureido]-2-phenylacetamide
672960-94-0P, N-(2,4-Dimethylphenyl)-2-[3-(4-methoxy-2-
nitrophenyl)thioureido]-2-phenylacetamide 672960-97-3P,
N-(2,5-Dimethylphenyl)-2-[3-(4-methoxy-2-nitrophenyl)thioureido]-2-
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methoxy-2-nitrophenyl)thioureido]-2-phenylacetamide 672961-02-3P
, N-(2,6-Dimethylphenyl)-2-[3-(4-ethoxy-2-nitrophenyl)thioureido]-2-
phenylacetamide 672961-03-4P, N-(2,6-Dimethylphenyl)-2-[3-[2-
[(N, N-dimethylamino) sulfonyl]phenyl]thioureido]-2-phenylacetamide
672961-05-6P, N-(2,6-Dimethylphenyl)-2-[3-(2-N-methylpiperazin-1-
ylsulfonylphenyl)thioureido]-2-phenylacetamide 672961-10-3P,
N-(2,6-Dimethylphenyl)-2-[3-[2-nitro-4-[2-(N,N-1)]]
dimethylamino) ethoxy] phenyl] thioureido] -2-phenylacetamide hydrochloride
672961-12-5P, N-(2,6-Dimethylphenyl)-2-[3-[4-[(N,N-
dimethylamino) sulfonyl] -2-nitrophenyl] thioureido] -2-phenylacetamide
672961-13-6P, N-(2,6-Dimethylphenyl)-2-[3-(4-methoxy-2-
nitrophenyl)thioureido]-2-phenylacetamide 672961-14-7P,
N-(2,6-Dimethylphenyl)-2-[3-(2-trifluoromethylphenyl)thioureido]-2-
phenylacetamide 672961-17-0P, N-(2,6-Dimethylphenyl)-2-[3-[4-(2-
N, N-dimethylaminoethoxy) -2-trifluoromethylphenyl]thioureido]-2-
phenylacetamide 672961-21-6P, N-(2,6-Dimethylphenyl)-2-[3-[4-(1-
Methyl-1,2,3,6-tetrahydropyridin-4-yl)-2-trifluoromethylphenyl]thioureido]-
2-phenylacetamide 672961-22-7P, N-(2,6-Dimethylphenyl)-2-[3-(4-
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N-(2,6-Dimethylphenyl)-2-[3-(2-nitrophenyl)thioureido]-2-phenylacetamide
672961-38-5P, N-(4-Methylphenyl)-2-[3-(1-naphthyl)thioureido]-2-
phenylacetamide 672961-39-6P, N-(4-Methylphenyl)-2-[3-(2-
nitrophenyl)thioureido]-2-phenylacetamide 672961-40-9P,
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672961-41-0P, N-(Phenyl)-2-[3-(1-naphthyl)thioureido]-2-
phenylacetamide 672961-46-5P, N-(3-Methylphenyl)-2-[3-(1-
naphthyl)thioureido]-2-phenylacetamide 672961-47-6P,
N-(3-Methylphenyl)-2-[3-(2-nitrophenyl)thioureido]-2-phenylacetamide
672961-57-8P, (R)-N-(2,6-Dimethylphenyl)-2-[3-(4-methoxy-2-
nitrophenyl)thioureido]-2-cyclohexylacetamide 672961-58-9P,
(R)-N-(2,6-Dimethylphenyl)-2-[3-(2-trifluoromethylphenyl)thioureido]-2-
cyclohexylacetamide 672961-59-0P, N-(2-Isopropylphenyl)-2-[3-(2-
nitro-4-methoxyphenyl)thioureido]-2-phenylacetamide 672961-61-4P
, N-(2-Isopropylphenyl)-2-[3-(2-nitrophenyl)thioureido]-2-phenylacetamide
672961-62-5P, N-(2-Isopropylphenyl)-2-[3-(2-
trifluoromethylphenyl)thioureido]-2-phenylacetamide 672961-63-6P
, N-(2-Phenylphenyl)-2-[3-(2-nitrophenyl)thioureido]-2-phenylacetamide
672961-67-0P, N-(1-Naphthyl)-2-[3-(2-nitrophenyl)thioureido]-2-
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phenylacetamide 672961-68-1P, N-[2-(4-Methylpiperazin-1-
yl)phenyl]-2-[3-(2-trifluoromethylphenyl)thioureido]-2-phenylacetamide
672961-70-5P, N-[2-(4-Methylpiperazin-1-yl)phenyl]-2-[3-(2-
nitrophenyl)thioureido]-2-phenylacetamide 672961-73-8P,
N-(2-Methylphenyl)-2-[3-(2-nitro-4-methoxyphenyl)thioureido]-2-(3,4-methoxyphenyl)
difluorophenyl)acetamide 672961-74-9P, N-(2-Methylphenyl)-2-[3-
(2-trifluoromethylphenyl)thioureido]-2-(3,4-difluorophenyl)acetamide
672961-76-1P, N-(2-Methylphenyl)-2-[3-(2-nitrophenyl)thioureido]-2-
(3,4-difluorophenyl)acetamide 672961-81-8P, N-(2-Methylphenyl)-2-
[3-(2-nitrophenyl)thioureido]-2-(3-trifluoromethylphenyl)acetamide
672961-87-4P, N-(2-Methylphenyl)-2-[3-(4-ethoxy-2-
nitrophenyl)thioureido]-2-(3-thienyl)acetamide 672961-88-5P,
N-(2-Methylphenyl)-2-[3-(2-methoxy-5-nitrophenyl)thioureido]-2-(3-
thienyl)acetamide 672961-89-6P, N-(2-Methylphenyl)-2-[3-(3-
trifluoromethylphenyl)thioureido]-2-(3-thienyl)acetamide
672961-90-9P, N-(2-Methylphenyl)-2-[3-(2-Nitrophenyl)thioureido]-2-
(3-thienyl)acetamide 672961-93-2P, N-(2-Trifluoromethylphenyl)-2-
[3-(2-nitrophenyl)thioureido]-2-phenylacetamide 672961-94-3P,
N-(2-Ethylphenyl)-2-[3-(2-nitrophenyl)thioureido]-2-phenylacetamide
672961-99-8P, (R)-N-(2,6-Dimethylphenyl)-2-[3-(2-
trifluoromethylphenyl)thioureido]-4-methylpentanamide 672962-00-4P
, (R)-N-(2,6-Dimethylphenyl)-2-[3-(4-methoxy-2-nitrophenyl)thioureido]-4-
methylpentanamide 672962-01-5P, (R)-N-(2,6-Dimethylphenyl)-2-[3-
(4-ethoxy-2-nitrophenyl)thioureido]-4-methylpentanamide
672962-02-6P, (R)-N-(2,6-Dimethylphenyl)-2-[3-[4-(2-
dimethylaminoethoxy)-2-nitrophenyl]thioureido]-4-methylpentanamide
672962-05-9P, N-(5-Methoxy-2-methylphenyl)-2-[3-(2-
trifluoromethylphenyl)thioureido]-2-phenylacetamide 672962-10-6P
, N-(2,6-Dimethylphenyl)-2-[3-(4-methoxy-2-nitrophenyl)thioureido]-2-(3,4-methoxy-2-nitrophenyl)
difluorophenyl)acetamide 672962-15-1P, N-(4-Isopropylphenyl)-2-
[3-(2-nitrophenyl)thioureido]-2-phenylacetamide 672962-17-3P,
N-(4-Nitrophenyl)-2-[3-(2-nitrophenyl)thioureido]-2-phenylacetamide
672962-19-5P, N-(2,6-Dimethylphenyl)-2-[3-(2-
trifluoromethylphenyl)thioureido]-2-(3,4-difluorophenyl)acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (drug candidate; preparation of N'-arylaminocarbothioyl glycinamides as
   glycine transport inhibitors for treating pain and spasticity)
672959-44-3 CAPLUS
Propanamide, N-(2-methylphenyl)-2-[[[(2-nitrophenyl)amino]thioxomethyl]ami
no] - (9CI) (CA INDEX NAME)
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RN 672959-52-3 CAPLUS
CN Butanamide, 3-methyl-N-(2-methylphenyl)-2-[[[(2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)
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RN

CN

RN 672959-57-8 CAPLUS

CN Butanamide, 3-methyl-N-(2-methylphenyl)-2-[[[(2-nitrophenyl)amino]thioxomethyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 672959-62-5 CAPLUS

CN Butanamide, 3-methyl-N-(2-methylphenyl)-2-[[[(2-nitrophenyl)amino]thioxomethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 672959-67-0 CAPLUS

CN Benzeneacetamide, N-(2-methylphenyl)- $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672959-68-1 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(4-ethoxy-2-nitrophenyl)amino]thioxomethyl]amino]-N-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 672959-69-2 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(4-methoxy-2-nitrophenyl)amino]thioxomethyl]a mino]-N-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 672959-70-5 CAPLUS

CN Benzeneacetamide, N-(2-methylphenyl)- $\alpha$ -[[thioxo[[2-(trifluoromethyl)phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)

RN 672959-72-7 CAPLUS

CN Benzeneacetamide, N-(2-methylphenyl)- $\alpha$ -[[(1-naphthalenylamino)thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672959-73-8 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[[4-[2-(dimethylamino)ethoxy]-2-nitrophenyl]amino]thioxomethyl]amino]-N-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 672959-79-4 CAPLUS

CN Benzeneacetamide, N-(2-methylphenyl)- $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 672959-80-7 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[(4-methoxy-2-nitrophenyl)amino]thioxomethyl]a mino]-N-(2-methylphenyl)-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 672959-97-6 CAPLUS

CN Benzenepropanamide, N-(2-methylphenyl)- $\alpha$ -[[[(2-

nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-01-9 CAPLUS

CN Propanamide, 2-methyl-N-(2-methylphenyl)-2-[[[(2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-16-6 CAPLUS

CN Cyclohexaneacetamide, N-(2-methylphenyl)- $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

 $\supset$ 

Absolute stereochemistry.

RN 672960-18-8 CAPLUS

CN Cyclohexaneacetamide, N-(2-methylphenyl)- $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 672960-24-6 CAPLUS

CN Pentanamide, 4-methyl-N-(2-methylphenyl)-2-[[[(2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-33-7 CAPLUS

CN Benzoic acid, 2-[[[[[(2-nitrophenyl)amino]thioxomethyl]amino]phenylacetyl] amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 672960-34-8 CAPLUS

CN Benzoic acid, 2-[[[[(4-methoxy-2-nitrophenyl)amino]thioxomethyl]amino]phe nylacetyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 672960-35-9 CAPLUS

CN Benzoic acid, 2-[[phenyl[[thioxo[[2-(trifluoromethyl)phenyl]amino]methyl]a mino]acetyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 672960-38-2 CAPLUS

CN Benzeneacetamide, N-(2-cyanophenyl)- $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-41-7 CAPLUS

CN Benzeneacetamide, N-(2-methoxyphenyl)- $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-42-8 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(4-methoxy-2-nitrophenyl)amino]thioxomethyl]a mino]-N-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 672960-43-9 CAPLUS

CN Benzeneacetamide, N-(2-methoxyphenyl)- $\alpha$ -[[thioxo[[2-(trifluoromethyl)phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-46-2 CAPLUS

CN Benzeneacetamide, N-[2-(methylthio)phenyl]- $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-47-3 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(4-methoxy-2-nitrophenyl)amino]thioxomethyl]a mino]-N-[2-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

RN 672960-48-4 CAPLUS

CN Benzeneacetamide, N-[2-(methylthio)phenyl]- $\alpha$ -[[thioxo[[2-(trifluoromethyl)phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-51-9 CAPLUS

CN Benzeneacetamide, N-(2-chlorophenyl)- $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-52-0 CAPLUS

CN Benzeneacetamide, N-(2-chlorophenyl)- $\alpha$ -[[[(4-methoxy-2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-53-1 CAPLUS

CN Benzeneacetamide, N-(2-chlorophenyl)- $\alpha$ -[[thioxo[[2-(trifluoromethyl)phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-56-4 CAPLUS

CN Benzeneacetamide, N-(3-chlorophenyl)- $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-57-5 CAPLUS

CN Benzeneacetamide, N-(3-chlorophenyl)- $\alpha$ -[[thioxo[[2-(trifluoromethyl)phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-58-6 CAPLUS

CN Benzeneacetamide, N-(3-chlorophenyl)- $\alpha$ -[[[(4-methoxy-2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-61-1 CAPLUS

CN Benzeneacetamide, N-(4-chlorophenyl)- $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-62-2 CAPLUS

CN Benzeneacetamide, N-(4-chlorophenyl)- $\alpha$ -[[thioxo[[2-(trifluoromethyl)phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-63-3 CAPLUS

CN Benzeneacetamide, N-(4-chlorophenyl)- $\alpha$ -[[[(4-methoxy-2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-66-6 CAPLUS

CN Benzeneacetamide, N-(2,3-dimethylphenyl)- $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-67-7 CAPLUS

CN Benzeneacetamide, N-(2,3-dimethylphenyl)-α-[[thioxo[[2-(trifluoromethyl)phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-70-2 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]-N-(5,6,7,8-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 672960-71-3 CAPLUS

CN Benzeneacetamide, N-(5,6,7,8-tetrahydro-1-naphthalenyl)- $\alpha$ - [[thioxo[[2-(trifluoromethyl)phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-74-6 CAPLUS

CN Benzeneacetamide, N-(4-chloro-2-methylphenyl)- $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-75-7 CAPLUS

CN Benzeneacetamide, N-(4-chloro-2-methylphenyl)-α-[[thioxo[[2-(trifluoromethyl)phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-78-0 CAPLUS

CN Benzeneacetamide, N-(4-methyl[1,1'-biphenyl]-3-yl)- $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-79-1 CAPLUS

CN Benzeneacetamide, N-(4-methyl[1,1'-biphenyl]-3-yl)- $\alpha$ -[[thioxo[[2-(trifluoromethyl)phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-82-6 CAPLUS

CN Benzeneacetamide, N-(3-methyl[1,1'-biphenyl]-4-yl)- $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-83-7 CAPLUS

CN Benzeneacetamide, N-(3-methyl[1,1'-biphenyl]-4-yl)- $\alpha$ -[[thioxo[[2-(trifluoromethyl)phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-85-9 CAPLUS

CN Benzeneacetamide, N-(2-ethyl-6-methylphenyl)- $\alpha$ -[[[(4-methoxy-2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-88-2 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(4-methoxy-2-nitrophenyl)amino]thioxomethyl]a mino]-N-[2-methyl-6-(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 672960-91-7 CAPLUS

CN Benzeneacetamide, N-(2-chloro-6-methylphenyl)- $\alpha$ -[[[(4-methoxy-2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-94-0 CAPLUS

CN Benzeneacetamide, N-(2,4-dimethylphenyl)- $\alpha$ -[[[(4-methoxy-2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672960-97-3 CAPLUS

CN Benzeneacetamide, N-(2,5-dimethylphenyl)- $\alpha$ -[[[(4-methoxy-2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672961-00-1 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(4-methoxy-2-nitrophenyl)amino]thioxomethyl]a mino]-N-(2-methyl-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 672961-02-3 CAPLUS

CN Benzeneacetamide, N-(2,6-dimethylphenyl)- $\alpha$ -[[[(4-ethoxy-2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672961-03-4 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[[2-[(dimethylamino)sulfonyl]phenyl]amino]thio xomethyl]amino]-N-(2,6-dimethylphenyl)- (9CI) (CA INDEX NAME)

RN 672961-05-6 CAPLUS

CN Benzeneacetamide, N-(2,6-dimethylphenyl)- $\alpha$ -[[[[2-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672961-10-3 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[[4-[2-(dimethylamino)ethoxy]-2-nitrophenyl]amino]thioxomethyl]amino]-N-(2,6-dimethylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

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RN 672961-12-5 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[[4-[(dimethylamino)sulfonyl]-2-nitrophenyl]amino]thioxomethyl]amino]-N-(2,6-dimethylphenyl)- (9CI) (CA INDEX NAME)

RN 672961-13-6 CAPLUS

CN Benzeneacetamide, N-(2,6-dimethylphenyl)- $\alpha$ -[[[(4-methoxy-2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672961-14-7 CAPLUS

CN Benzeneacetamide, N-(2,6-dimethylphenyl)- $\alpha$ -[[thioxo[[2-(trifluoromethyl)phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)

RN 672961-17-0 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[[4-[2-(dimethylamino)ethoxy]-2-(trifluoromethyl)phenyl]amino]thioxomethyl]amino]-N-(2,6-dimethylphenyl)-(9CI) (CA INDEX NAME)

RN 672961-21-6 CAPLUS

CN Benzeneacetamide, N-(2,6-dimethylphenyl)- $\alpha$ -[[[[4-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-2-(trifluoromethyl)phenyl]amino]thioxomethyl]amino]-(9CI) (CA INDEX NAME)

RN 672961-22-7 CAPLUS

CN Benzeneacetamide, N-(2,6-dimethylphenyl)- $\alpha$ -[[[(4-methyl-2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672961-23-8 CAPLUS

CN Benzeneacetamide, N-(2,6-dimethylphenyl)- $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672961-38-5 CAPLUS

CN Benzeneacetamide, N-(4-methylphenyl)- $\alpha$ -[[(1-naphthalenylamino)thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672961-39-6 CAPLUS CN Benzeneacetamide, N-(4-methylphenyl)- $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672961-40-9 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]-N-phenyl- (9CI) (CA INDEX NAME)

RN 672961-41-0 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[(1-naphthalenylamino)thioxomethyl]amino]-N-phenyl-(9CI) (CA INDEX NAME)

RN 672961-46-5 CAPLUS

CN Benzeneacetamide, N-(3-methylphenyl)- $\alpha$ -[[(1-naphthalenylamino)thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672961-47-6 CAPLUS

CN Benzeneacetamide, N-(3-methylphenyl)- $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672961-57-8 CAPLUS

CN Cyclohexaneacetamide, N-(2,6-dimethylphenyl)- $\alpha$ -[[[(4-methoxy-2-nitrophenyl)amino]thioxomethyl]amino]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 672961-58-9 CAPLUS

CN Cyclohexaneacetamide, N-(2,6-dimethylphenyl)- $\alpha$ -[[thioxo[[2-(trifluoromethyl)phenyl]amino]methyl]amino]-, ( $\alpha$ R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 672961-59-0 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(4-methoxy-2-nitrophenyl)amino]thioxomethyl]a mino]-N-[2-(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 672961-61-4 CAPLUS

CN Benzeneacetamide, N-[2-(1-methylethyl)phenyl]- $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672961-62-5 CAPLUS

CN Benzeneacetamide, N-[2-(1-methylethyl)phenyl]-α-[[thioxo[[2-(trifluoromethyl)phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)

RN 672961-63-6 CAPLUS

CN Benzeneacetamide, N-[1,1'-biphenyl]-2-yl- $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672961-67-0 CAPLUS

CN Benzeneacetamide, N-1-naphthalenyl- $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672961-68-1 CAPLUS

CN Benzeneacetamide, N-[2-(4-methyl-1-piperazinyl)phenyl]- $\alpha$ -[[thioxo[[2-(trifluoromethyl)phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)

RN 672961-70-5 CAPLUS

CN Benzeneacetamide, N-[2-(4-methyl-1-piperazinyl)phenyl]- $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672961-73-8 CAPLUS

CN Benzeneacetamide, 3,4-difluoro- $\alpha$ -[[[(4-methoxy-2-nitrophenyl)amino]thioxomethyl]amino]-N-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 672961-74-9 CAPLUS

CN Benzeneacetamide, 3,4-difluoro-N-(2-methylphenyl)- $\alpha$ -[[thioxo[[2-(trifluoromethyl)phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)

RN 672961-76-1 CAPLUS

CN Benzeneacetamide, 3,4-difluoro-N-(2-methylphenyl)- $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672961-81-8 CAPLUS

CN Benzeneacetamide, N-(2-methylphenyl)-α-[[[(2-nitrophenyl)amino]thioxomethyl]amino]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 672961-87-4 CAPLUS

CN 3-Thiopheneacetamide,  $\alpha$ -[[[(4-ethoxy-2-nitrophenyl)amino]thioxomethy l]amino]-N-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 672961-88-5 CAPLUS

CN 3-Thiopheneacetamide,  $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]amino]-N-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 672961-89-6 CAPLUS

CN 3-Thiopheneacetamide, N-(2-methylphenyl)-α-[[thioxo[[3-(trifluoromethyl)phenyl]amino]methyl]amino]- (9CI) (CA\_INDEX\_NAME)

RN 672961-90-9 CAPLUS

CN 3-Thiopheneacetamide, N-(2-methylphenyl)- $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672961-93-2 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]-N-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 672961-94-3 CAPLUS

CN Benzeneacetamide, N-(2-ethylphenyl)- $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672961-99-8 CAPLUS

CN Pentanamide, N-(2,6-dimethylphenyl)-4-methyl-2-[[thioxo[[2-(trifluoromethyl)phenyl]amino]methyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 672962-00-4 CAPLUS

CN Pentanamide, N-(2,6-dimethylphenyl)-2-[[[(4-methoxy-2-

nitrophenyl)amino]thioxomethyl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 672962-01-5 CAPLUS

CN Pentanamide, N-(2,6-dimethylphenyl)-2-[[[(4-ethoxy-2-nitrophenyl)amino]thioxomethyl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 672962-02-6 CAPLUS

CN Pentanamide, 2-[[[[4-[2-(dimethylamino)ethoxy]-2-nitrophenyl]amino]thioxomethyl]amino]-N-(2,6-dimethylphenyl)-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 672962-05-9 CAPLUS

CN Benzeneacetamide, N-(5-methoxy-2-methylphenyl)- $\alpha$ -[[thioxo[[2-(trifluoromethyl)phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)

RN 672962-10-6 CAPLUS

CN Benzeneacetamide, N-(2,6-dimethylphenyl)-3,4-difluoro- $\alpha$ -[[[(4-methoxy-2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672962-15-1 CAPLUS

CN Benzeneacetamide, N-[4-(1-methylethyl)phenyl]- $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672962-17-3 CAPLUS

CN Benzeneacetamide, N-(4-nitrophenyl)- $\alpha$ -[[[(2-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672962-19-5 CAPLUS

CN Benzeneacetamide, N-(2,6-dimethylphenyl)-3,4-difluoro- $\alpha$ -[[thioxo[[2-

(trifluoromethyl)phenyl]amino]methyl]amino] - (9CI) (CA INDEX NAME)

# RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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AN 2004:220306 CAPLUS

DN 140:271194

TI Arylglycine derivatives and their use as glycine transport inhibitors

IN Isaac, Methvin; Xin, Tao; Edwards, Louise; Begleiter, Leah; Stefanac,
 Tomaslav; O'Brien, Anne; Da Silva, Kathleen; Arora, Jalaj; Maddaford,
 Shawn; Slassi, Abdelmalik

PA Nps Allelix Corp., Can.

SO PCT Int. Appl., 112 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN CNT 1

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|------|---------------|---------------|-----|-----|-----|------------|-------------|------|-----|-----------------|-----------------|------|----------|-----|-----|------------|----------|-----|--|--|
|      | PATENT NO.    |               |     |     |     | KIND       |             | DATE |     | APPLICATION NO. |                 |      |          |     |     | DATE       |          |     |  |  |
|      |               |               |     |     |     |            | _           |      |     |                 |                 |      |          |     |     |            |          |     |  |  |
| ΡI   | WO 2004022528 |               |     |     | A2  |            | 20040318    |      | 1   | WO 2            | 003-            | CA13 | 20030909 |     |     |            |          |     |  |  |
|      | WO            | WO 2004022528 |     |     |     |            | A3 2004052  |      |     |                 |                 |      |          |     |     |            |          |     |  |  |
|      |               | W:            | ΑE, | AG, | AL, | AM,        | AT,         | AU,  | ΑZ, | BA,             | BB,             | BG,  | BR,      | BY, | BZ, | CA,        | CH,      | CN, |  |  |
|      |               |               | CO, | CR, | CU, | CZ,        | DE,         | DK,  | DM, | DZ,             | EC,             | EE,  | ES,      | FI, | GB, | GD,        | GE,      | GH, |  |  |
|      |               |               | GM, | HR, | HU, | ID,        | ΙL,         | IN,  | IS, | JP,             | ΚE,             | KG,  | KΡ,      | KR, | ΚZ, | LC,        | LK,      | LR, |  |  |
|      |               |               | LS, | LT, | LU, | LV,        | MA,         | MD,  | MG, | MK,             | MN,             | MW,  | MX,      | MZ, | NI, | NO,        | ΝZ,      | OM, |  |  |
|      |               |               | PG, | PH, | PL, | PT,        | RO,         | RU,  | SC, | SD,             | SE,             | SG,  | SK,      | SL, | SY, | ТJ,        | TM,      | TN, |  |  |
|      |               |               | -   |     |     |            |             | UΖ,  | -   | •               | -               |      | •        |     |     |            |          |     |  |  |
|      |               | RW:           |     |     |     |            |             | MZ,  |     |                 |                 |      |          |     |     |            |          |     |  |  |
|      |               |               | KG, | ΚZ, | MD, | RU,        | ТJ,         | TM,  | ΑT, | BE,             | BG,             | CH,  | CY,      | CZ, | DE, | DK,        | EE,      | ES, |  |  |
|      |               |               | -   | -   | -   |            |             | ΙE,  |     |                 |                 | -    |          |     |     |            |          | •   |  |  |
|      |               |               | BF, | ΒJ, | CF, | CG,        | CI,         | CM,  | GA, | GN,             | GQ,             | GW,  | ML,      | MR, | ΝE, | SN,        | TD,      | TG  |  |  |
|      |               |               |     |     |     |            |             |      |     | US 2002-409421P |                 |      |          |     |     | P 20020909 |          |     |  |  |
|      | US 2004152741 |               |     |     |     | <b>A</b> 1 | A1 20040805 |      |     | 1               | US 2003-657815  |      |          |     |     |            | 20030908 |     |  |  |
|      |               |               |     |     |     |            |             |      |     |                 | US 2002-409421P |      |          |     |     | P 20020909 |          |     |  |  |

OS MARPAT 140:271194

AB The invention relates to compds. R1NHCOCR2R3NHC(:X)NHAr1 [R1 is (un)substituted (hetero)cycloalkyl or (hetero)aryl; R2, R3 are H, alkyl, haloalkyl, aralkyl, or a group given for R1; X is O, S, NH, or NCN; Ar1 is aryl (with provisos)] and their salts, solvates, and hydrates for treating neurol., neuropsychiatric, and gastrointestinal disorders. Thus, N-(indan-5-yl)-2-[3-(2-methoxy-5-nitrophenyl)thioureido]-2-(3-thienyl)acetamide (claimed compound) was prepared by condensation of (±)-3-thienylglycine indan-5-ylamide (preparation given) with 2-methoxy-5-nitrophenyl isocyanate and showed IC50 = 131.448 nM for

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Page 37
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glycine uptake.
ΙT
     672961-38-5P 672962-37-7P 672962-43-5P
     672962-48-0P 672962-52-6P 672962-53-7P
     672962-58-2P 672962-59-3P 672962-60-6P
     672962-61-7P 672962-62-8P 672962-63-9P
     672962-64-0P 672962-67-3P 672962-68-4P
     672962-69-5P 672962-80-0P 672962-81-1P
     672962-82-2P 672962-85-5P 672962-86-6P
     672962-89-9P 672962-94-6P 672962-97-9P
     672963-00-7P 672963-04-1P 672963-05-2P
     672963-11-0P 672963-15-4P 672963-19-8P
     672963-20-1P 672963-27-8P 672963-32-5P
     672963-36-9P 672963-37-0P 672963-45-0P
     672963-47-2P 672963-48-3P 672963-52-9P
     672963-53-0P 672963-61-0P 672963-70-1P
     672963-74-5P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of arylglycine derivs. as inhibitors of glycine transport in
        treatment of neurol. and gastrointestinal disorders)
RN
     672961-38-5 CAPLUS
CN
     Benzeneacetamide, N-(4-methylphenyl)-\alpha-[[(1-
     naphthalenylamino)thioxomethyl]amino]- (9CI) (CA INDEX NAME)
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RN 672962-37-7 CAPLUS CN Benzeneacetamide, \alpha-[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]a mino]-N-(2-methylphenyl)- (9CI) (CA INDEX NAME)
```

RN 672962-43-5 CAPLUS

CN Benzeneacetamide, N-(2-methylphenyl)- $\alpha$ -[[thioxo[[3-(trifluoromethyl)phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)

RN 672962-48-0 CAPLUS

CN Cyclohexaneacetamide, N-(2-methylphenyl)- $\alpha$ -[[[(3-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672962-52-6 CAPLUS

CN Benzeneacetamide, N-(3-chlorophenyl)- $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672962-53-7 CAPLUS

CN Benzeneacetamide, N-(4-chlorophenyl)- $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672962-58-2 CAPLUS

CN Benzeneacetamide, N-(2,3-dimethylphenyl)- $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672962-59-3 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]a mino]-N-(5,6,7,8-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 672962-60-6 CAPLUS

CN Benzeneacetamide, N-(4-chloro-2-methylphenyl)- $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672962-61-7 CAPLUS

CN Benzeneacetamide, N-(2-ethyl-6-methylphenyl)- $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672962-62-8 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]a mino]-N-[2-methyl-6-(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 672962-63-9 CAPLUS

CN Benzeneacetamide, N-(2-chloro-6-methylphenyl)- $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672962-64-0 CAPLUS

CN Benzeneacetamide, N-(2,4-dimethylphenyl)- $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672962-67-3 CAPLUS

CN Benzeneacetamide, N-(2,6-dimethylphenyl)- $\alpha$ -[[[[4-[(4-methyl-1-piperazinyl)sulfonyl]-2-nitrophenyl]amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672962-68-4 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]a mino]-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)

RN 672962-69-5 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(2-chloro-5-nitrophenyl)amino]thioxomethyl]amino]-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)

RN 672962-80-0 CAPLUS

RN 672962-81-1 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]a mino]-3-(trifluoromethyl)-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 672962-82-2 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]a mino]-N-[4-(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 672962-85-5 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]a mino]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 672962-86-6 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(2-chloro-5-nitrophenyl)amino]thioxomethyl]amino]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 672962-89-9 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(2-chloro-5-nitrophenyl)amino]thioxomethyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 672962-94-6 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]a mino]-N-8-quinolinyl- (9CI) (CA INDEX NAME)

RN 672962-97-9 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]a mino]-N-[4-methyl-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 672963-00-7 CAPLUS

CN Benzeneacetamide, N-[3-(dimethylamino)phenyl]- $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672963-04-1 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]a mino]-N-6-quinolinyl- (9CI) (CA INDEX NAME)

RN 672963-05-2 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]a mino]-N-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 672963-11-0 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]a mino]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 672963-15-4 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]a mino]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 672963-19-8 CAPLUS

CN Benzeneacetamide, N-(2,3-dihydro-1H-inden-5-yl)- $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672963-20-1 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(2-chloro-5-nitrophenyl)amino]thioxomethyl]amino]-N-(2,3-dihydro-1H-inden-5-yl)- (9CI) (CA INDEX NAME)

RN 672963-27-8 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]a mino]-N-2-naphthalenyl- (9CI) (CA INDEX NAME)

RN 672963-32-5 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]a mino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 672963-36-9 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(2-chloro-5-nitrophenyl)amino]thioxomethyl]amino]-N-(3,4-dimethylphenyl)- (9CI) (CA INDEX NAME)

RN 672963-37-0 CAPLUS

CN Benzeneacetamide, N-(3,4-dimethylphenyl)- $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672963-45-0 CAPLUS

CN Benzeneacetamide, N-(3,4-dimethylphenyl)- $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]amino]-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 672963-47-2 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[(2-chloro-5-nitrophenyl)amino]thioxomethyl]amino]-N-(3,5-dimethylphenyl)- (9CI) (CA INDEX NAME)

RN 672963-48-3 CAPLUS

CN Benzeneacetamide, N-(3,5-dimethylphenyl)- $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672963-52-9 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(2-chloro-5-nitrophenyl)amino]thioxomethyl]amino]-N-(4-ethenylphenyl)- (9CI) (CA INDEX NAME)

RN 672963-53-0 CAPLUS

CN Benzeneacetamide, N-(4-ethenylphenyl)- $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672963-61-0 CAPLUS

CN Benzeneacetamide,  $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]a

mino]-N-[6-(trifluoromethyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 672963-70-1 CAPLUS

CN 3-Thiopheneacetamide, N-(3,4-dimethylphenyl)- $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

RN 672963-74-5 CAPLUS

CN 3-Thiopheneacetamide, N-(2,3-dihydro-1H-inden-5-yl)- $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

IT 672963-62-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of arylglycine derivs. as inhibitors of glycine transport in treatment of neurol. and gastrointestinal disorders) 672963-62-1 CAPLUS

RN 672963-62-1 CAPLUS CN Benzeneacetamide, N-(4-bromophenyl)- $\alpha$ -[[[(2-methoxy-5-nitrophenyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

L7 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:757692 CAPLUS

DN 139:261159

TI Preparation of cyclic hemiacetal derivative and use thereof

IN Nakamura, Masayuki; Inoue, Jun

PA Senju Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

| FAN. | CNT 1<br>PATENT NO.                              | KIND DATE  | APPLICATION NO.  | DATE  |
|------|--|--|--|---|
| ΡI   | WO 2003078415                                    | A1 20030925  | WO 2003-JP3122   | 20030314  |
|      | CO, CR, C<br>GM, HR, H<br>LT, LU, L<br>PL, PT, R | U, CZ, DE, DK, DM,<br>U, ID, IL, IN, IS,<br>U, MA, MD, MG, MK, | BA, BB, BG, BR, BY, DZ, EC, EE, ES, FI, JP, KE, KG, KR, KZ, MN, MW, MX, MZ, NI, SG, SK, SL, TJ, TM, ZA, ZM, ZW | GB, GD, GE, GH,<br>LC, LK, LR, LS,<br>NO, NZ, OM, PH,   |
|      | RW: GH, GM, K<br>KG, KZ, M<br>FI, FR, G          | E, LS, MW, MZ, SD, MD, RU, TJ, TM, AT, BB, GR, HU, IE, IT,     | SL, SZ, TZ, UG, ZM,<br>BE, BG, CH, CY, CZ,<br>LU, MC, NL, PT, RO,<br>GN, GQ, GW, ML, MR,<br>JP 2002-72762      | DE, DK, EE, ES,<br>SE, SI, SK, TR,<br>NE, SN, TD, TG    |
|      | •  | CH, DE, DK, ES, FR,  | EP 2003-712708 GB, GR, IT, LI, LU, CY, AL, TR, BG, CZ, JP 2002-72762 WO 2003-JP3122                            | 20030314<br>NL, SE, MC, PT,<br>EE, HU, SK<br>A 20020315 |
|      | US 2005119499                                    | A1 20050602  | US 2003-507831<br>JP 2002-72762<br>WO 2003-JP3122  | A 20020315  |

OS MARPAT 139:261159

AB The patent relates to the preparation of calpain inhibitor cyclic hemiacetal compound I (R1 = lower alkyl; R2 = H, halo, cyano, lower alkyl, lower alkoxy; n = 0, 1). A title compound I (n = 0, R1 = iCH2CHMe2, R2 = H), prepared by reduction of the corresponding oxo-precursor with

diisobutylaluminium hydride, was formulated in a eye drop composition IT 602307-54-0P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cyclic hemiacetal derivative having calpain inhibitive activity)

602307-54-0 CAPLUS RN

Pentanamide, 2-[[[(3-cyanophenyl)amino]thioxomethyl]amino]-4-methyl-N-CN [(3S)-tetrahydro-2-hydroxy-3-furanyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

#### THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN L7

1995:789155 CAPLUS AN

DN 123:199414

Preparation of peptidyllactol derivatives as inhibitors of cathepsin L. TI

Sohda, Takashi; Fujisawa, Yukio; Oi, Satoru; Mizoguchi, Junji ΙN

PΑ Takeda Chemical Industries, Ltd., Japan

Eur. Pat. Appl., 54 pp. SO

CODEN: EPXXDW

DT Patent

English LΑ

| FAN. | CNT | 1            |      |     |     |          |     |      |      |             |             |  |                      |                |                 |                                  |                   |
|------|-----|--------------|------|-----|-----|----------|-----|------|------|-------------|-------------|--|----------------------|----------------|-----------------|----------------------------------|-------------------|
|      | PAT | CENT :       | NO.  |     |     | KIN      | D   | DATE |      | A           | PP          | LICAT  | ION                  | NO.            |                 | DATE                             |                   |
| PI   |     | 6418<br>6418 |      |     |     | A1<br>B1 | _   | 1995 |      | E           | P           | 1994-  | 1136                 | 69             |                 | 19940                            | 901               |
|      | 2.  | R:           |      | BE, | CH, |          | DK, |      |      | J<br>J      | P           | 1993-2<br>1994-1                             | 2196<br>1685         | 55<br>01       | A<br>A          | 19930<br>19940                   | 903<br>720        |
|      | NO  | 9403         | 210  |     |     | Α        |     | 1995 | 0306 | N<br>J      | O<br>P      | 1994 - 3<br>1994 - 3<br>1993 - 2<br>1994 - 3 | 3210<br>2196         | 55             | A<br>A<br>A     | 19940<br>19940<br>19930<br>19940 | 830<br>903        |
|      | JР  | 0810         | 4685 |     |     | A2       |     | 1996 | 0423 | J           | P           | 1994 - 1<br>1994 - 2<br>1993 - 2             | 2089<br>2196         | 81<br>55       | A<br>A          | 19940<br>19940<br>19930          | 901<br>903        |
|      | ΑT  | 2120         | 36   |     |     | E        |     | 2002 | 0215 | J<br>A<br>J | P<br>T<br>P | 1994 - 1<br>1994 - 1<br>1994 - 1<br>1993 - 2 | 1903<br>1136<br>2196 | 85<br>69<br>55 | <br>A<br>A<br>A | 19940<br>19940<br>19940<br>19930 | 812<br>901<br>903 |

|            |    |          | JP 1994-190385  | Α  | 19940812 |
|------------|----|----------|-----------------|----|----------|
| CA 2131397 | AA | 19950304 | CA 1994-2131397 |    | 19940902 |
| •          |    |          | JP 1993-219655  | Α  | 19930903 |
|            |    |          | JP 1994-168501  | Α  | 19940720 |
|            |    |          | JP 1994-190385  | A  | 19940812 |
| FI 9404040 | Ā  | 19950304 | FI 1994-4040    |    | 19940902 |
|            |    |          | JP 1993-219655  | Α  | 19930903 |
|            |    |          | JP 1994-168501  | Α  | 19940720 |
|            |    |          | JP 1994-190385  | Α  | 19940812 |
| AU 9471682 | A1 | 19950316 | AU 1994-71682   |    | 19940902 |
| AU 678493  | B2 | 19970529 |                 |    |          |
|            |    |          | JP 1993-219655  | Α  | 19930903 |
| •          |    |          | JP 1994-168501  | Α  | 19940720 |
|            |    |          | JP 1994-190385  | Α  | 19940812 |
| HU 68717   | A2 | 19950728 | HU 1994-2536    |    | 19940902 |
|            |    |          | JP 1993-219655  | Α  | 19930903 |
|            |    |          | JP 1994-168501  | Α  | 19940720 |
|            |    |          | JP 1994-190385  | Α  | 19940812 |
| CN 1106001 | A  | 19950802 | CN 1994-115669  |    | 19940902 |
|            |    |          | JP 1993-219655  | Α  | 19930903 |
|            |    |          | JP 1994-190385  | Α΄ | 19940812 |
| US 5496834 | A  | 19960305 | US 1994-300738  |    | 19940902 |
|            |    |          | JP 1993-219655  | Α  | 19930903 |
|            |    |          | JP 1994-168501  | А  | 19940720 |
|            |    |          | JP 1994-190385  | Α  | 19940812 |

OS CASREACT 123:199414; MARPAT 123:199414 AΒ Title compds. [I; Q = 1-2 (substituted) amino acid residues; R3 =(esterified) carboxyl, acyl; A = alkylene; B = H, (substituted) alkyl, acyl], were prepared Thus, N-benzyloxycarbonylhomoserine, 1-hydroxybenzotriazole, and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide were stirred 14 h in DMF at ice temp-room temperature to give 84.3% (S)-3-(N-benzyloxycarbonylamino)tetrahydrofuran-2-one. This was hydrogenolyzed in EtOH over Pd/C and the product was stirred with BOC-Phe-OH, 1-hydroxybenzotriazole, and 1-ethyl-3-(3dimethylaminopropyl)carbodiimide in DMF to give 78.3% (S)-3-(N-tertbutoxycarbonylphenylalanylamino)tetrahydrofuran-2-one. The latter in THF was treated with DIBAL in PhMe at -72° to give 37.5% title compound (II). I inhibited cathepsin L with IC50 = 6.9 + 10-7-8.0 +10-9 M, and at 10-30  $\mu M$  gave 26-82% inhibition of bone resorption in

IT 167765-33-5P 167765-34-6P

rat fetuses according to the method of Raisz.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptidyllactol derivs. as inhibitors of cathepsin L)

RN167765-33-5 CAPLUS

Pentanamide, 4-methyl-2-[[(1-naphthalenylamino)thioxomethyl]amino]-N-CN  $(tetrahydro-2-hydroxy-3-furanyl)-, [2R-[2\alpha,3\alpha(S*)]]- (9CI)$ (CA INDEX NAME)

Absolute stereochemistry.

RN 167765-34-6 CAPLUS

CN Pentanamide, 4-methyl-2-[[(1-naphthalenylamino)thioxomethyl]amino]-N-(tetrahydro-2-hydroxy-3-furanyl)-, [2S-[2 $\alpha$ ,3 $\beta$ (R\*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 167766-65-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of peptidyllactol derivs. as inhibitors of cathepsin L)

RN 167766-65-6 CAPLUS

CN Pentanamide, 4-methyl-2-[[(1-naphthalenylamino)thioxomethyl]amino]-N-(tetrahydro-2-oxo-3-furanyl)-, [S-(R\*,R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1984:138858 CAPLUS

DN 100:138858

TI  $\beta$ -Lactam compounds

IN Bognar, Rezsoe; Jaszberanyi, Csaba; Farkas, Erzsebet; Punyiczki, Maria; Hernadi, Ferenc; Eke, Katalin; Petrikovics, Ilona

PA Chinoin Gyogyszer es Vegyeszeti Termekek Gyara R. T., Hung.

SO Ger. (East), 38 pp.

CODEN: GEXXA8

DT Patent

LA German

FAN.CNT 1

|    | PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|----|------------|------|----------|-----------------|----------|
|    |            |      |          |                 |          |
| PI | DD 203053  | A5   | 19831012 | DD 1982-240119  | 19820524 |
|    |            |      |          | DD 1982-240119  | 19820524 |

AB Carbamoyl, thiocarbamoyl, and selenocarbamoyl derivs. of aminoacetamidocephems and aminoacetamidopenams were prepared. Thus, cephalexin was treated with PhNCS to give I which had min. inhibitory concentrate against Bacillus cereus  $\beta$ -lactamase of < 0.32  $\mu$ M.

IT 84381-39-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and  $\beta$ -lactamase-inhibiting activity of)

RN 84381-39-5 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-methyl-8-oxo-7-[[phenyl[[thioxo[[3-(trifluoromethyl)phenyl]amino]methyl] amino]acetyl]amino]-, [6R-[6 $\alpha$ ,7 $\beta$ (R\*)]]-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 84381-38-4 CMF C24 H21 F3 N4 O4 S2

Absolute stereochemistry.

CM 2

CRN 121-44-8 CMF C6 H15 N

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Page 55
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84380-85-8P 84381-37-3P 84381-41-9P IT RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of) RN84380-85-8 CAPLUS 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, CN 3-methyl-7-[[[[(1-naphthalenylamino)thioxomethyl]amino]phenylacetyl]amino]-8-0x0-, [6R-[6 $\alpha$ ,7 $\beta$ (R\*)]]-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME) CM1 84380-84-7 CRN CMF C27 H24 N4 O4 S2

Absolute stereochemistry.

CM 2

CRN 121-44-8 CMF C6 H15 N

Et | | Et-N-Et

RN 84381-37-3 CAPLUS CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-methyl-8-oxo-7-[[phenyl[[thioxo[[2-(trifluoromethyl)phenyl]amino]methyl] amino]acetyl]amino]-, [6R-[6 $\alpha$ ,7 $\beta$ (R\*)]]-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 84381-36-2 CMF C24 H21 F3 N4 O4 S2

Absolute stereochemistry.

CM 2

CRN 121-44-8 CMF C6 H15 N

RN 84381-41-9 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 
3-methyl-7-[[[[[(3-nitrophenyl)amino]thioxomethyl]amino]phenylacetyl]amino 
]-8-oxo-, [6R-[6 $\alpha$ ,7 $\beta$ (R\*)]]-, compd. with N,N-diethylethanamine 
(1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 84381-40-8 CMF C23 H21 N5 O6 S2

Absolute stereochemistry.

CM 2

CRN 121-44-8 CMF C6 H15 N

Et | Et-N-Et

ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN L7 1983:71804 CAPLUS ΑN DN 98:71804  $\beta\text{-Lactam}$  derivatives and pharmaceutical compositions containing them TI Chinoin Gyogyszer es Vegyeszeti Termekek Gyara Rt., Hung. PA SO Belg., 39 pp. CODEN: BEXXAL DT Patent LΑ French FAN.CNT 1 APPLICATION NO. DATE KIND DATE PATENT NO. \_ \_ \_ \_ \_\_\_\_\_\_ 19820503 BE 1982-207990 PΙ BE 893057 A1 19820830 A 19810504 HU 1981-1154 19810504 HU 33811 0 19841228 HU 1981-1154 SE 8202674 19821105 SE 1982-2674 19820428 Α A 19810504 HU 1981-1154 DE 1982-3215941 19820429 DE 3215941 Α1 19830505 HU 1981-1154 19810504 DK 8201982 Α 19821105 DK 1982-1982 19820503 HU 1981-1154 19810504 FI 1982-1551 19820503 FI 8201551 Α 19821105 A 19810504 HU 1981-1154 NO 1982-1456 19820503 NO 8201456 Α 19821105 A 19810504 HU 1981-1154

19821111

19821201

19830114

19830816

19830119

19830126

AU 1982-83212 HU 1981-1154

NL 1982-1810

HU 1981-1154

FR 1982-7659

HU 1981-1154

HU 1981-1154

GB 1982-12825

HU 1981-1154

JP 1982-73490

ES 1982-511884

HU 1981-1154 A 19810504 AB  $\beta$ -Lactams I [X = O, S, Se; R = substituted amino, substituted hydrazino; R1-R4 = H, alkyl, (un)substituted Ph; R5 = Me, CH2OAc, heterocyclylthiomethyl; n = 0, 1], their S-oxides and dioxides and penicillin analogs (61 compds.) were prepared Thus cephalexin was treated with 4-MeC6H4NCS to give I (X = S, R = 4-MeC6H4NH, R1 = R3 = H, R4 = Ph, R5 = Me, n = 0) as its NEt3 salt which gave 50% inhibition of Escherichia coli  $\beta$ -lactamase at 43.19  $\mu$ mol.

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IT 84381-39-5P

AU 8283212

NL 8201810

FR 2509311

ES 511884

GB 2101586

JP 58013590

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and  $\beta$ -lactamase inhibiting activity of) 84381-39-5 CAPLUS

Α1

Α

A1

A1

Α

A2

RN

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-methyl-8-oxo-7-[[phenyl[[thioxo[[3-(trifluoromethyl)phenyl]amino]methyl] amino]acetyl]amino]-, [6R-[6 $\alpha$ ,7 $\beta$ (R\*)]]-, compd. with N, N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 84381-38-4 CMF C24 H21 F3 N4 O4 S2

Absolute stereochemistry.

CM 2

CRN 121-44-8 CMF C6 H15 N

IT 84380-85-8P 84381-37-3P 84381-41-9P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN84380-85-8 CAPLUS

5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, CN3-methyl-7-[[[[(1-naphthalenylamino)thioxomethyl]amino]phenylacetyl]amino]-8-oxo-,  $[6R-[6\alpha,7\beta(R^*)]]$ -, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 84380-84-7 CMF C27 H24 N4 O4 S2

Absolute stereochemistry.

CM 2

CRN 121-44-8 CMF C6 H15 N

RN 84381-37-3 CAPLUS CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-methyl-8-oxo-7-[[phenyl[[thioxo[[2-(trifluoromethyl)phenyl]amino]methyl] amino]acetyl]amino]-, [6R-[6 $\alpha$ ,7 $\beta$ (R\*)]]-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 84381-36-2 CMF C24 H21 F3 N4 O4 S2

Absolute stereochemistry.

CM 2

CRN 121-44-8 CMF C6 H15 N

RN 84381-41-9 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 
3-methyl-7-[[[[[(3-nitrophenyl)amino]thioxomethyl]amino]phenylacetyl]amino 
]-8-oxo-, [6R-[6 $\alpha$ ,7 $\beta$ (R\*)]]-, compd. with N,N-diethylethanamine 
(1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 84381-40-8 CMF C23 H21 N5 O6 S2

Absolute stereochemistry.

CM 2

CRN 121-44-8 CMF C6 H15 N

L7 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1981:65461 CAPLUS

DN 94:65461

TI 4-Unsubstituted azetidinone derivatives

IN Hashimoto, Masashi; Hemmi, Keiji; Kamiya, Takashi; Komori, Tadaaki; Nakaguti, Osamu; Saito, Yoshihisa; Shiokawa, Youichi; Takasugi, Hisahi; Takaya, Takao; Teraji, Tsutomu

PA Fujisawa Pharmaceutical Co., Ltd., Japan

SO U.S., 130 pp. Cont.-in-part of U.S. Ser. No. 694,891, abandoned. CODEN: USXXAM

DT Patent

| LΑ   | Eng | 1 | i | sh |
|------|-----|---|---|----|
| FAN. | CNT | 1 |   |    |

|    | C111 1     |      |          |                 |            |          |
|----|------------|------|----------|-----------------|------------|----------|
|    | PATENT NO. | KIND | DATE     | APPLICATION NO. |            | DATE     |
|    |            |      |          |                 |            |          |
| ΡI | US 4207234 | Α    | 19800610 | US 1977-858375  |            | 19771207 |
|    |            |      |          | US 1975-593668  | A2         | 19750707 |
|    |            |      |          | US 1976-694891  | A2         | 19760610 |
|    | US 4472300 | Α    | 19840918 | US 1980-130205  |            | 19800313 |
|    |            |      |          | US 1975-593668  | A2         | 19750707 |
|    |            |      |          | US 1976-694891  | A2         | 19760610 |
|    |            |      |          | US 1977-858375  | <b>A</b> 3 | 19771207 |

OS CASREACT 94:65461

AB Lactacillanic acids and analogs I (R = NH2, acylamino, benzenesulfonamido; R1 = CO2H, pharmaceutically acceptable salt or ester derivative of CO2H; R2 = H, NH2, NO2, halo, alkoxy, alkylthio; R3 = H, OH, alkyl, alkylthio, OCH2Ph; R4 = H, Halo, alkoxy, alkylthio), which showed bactericidal activity, were prepared Thus, 3-aminolactacillanic acid reacted with PhCH2COCl in water-Me2CO containing NaHCO3 to yield I (R = PhCH2CONH, R1 = CO2H, R3 = OH, R2 = R4 = H).

IT 59510-75-7

RL: RCT (Reactant); RACT (Reactant or reagent)
 (deacylation of)

RN 59510-75-7 CAPLUS

CN 1-Azetidineacetic acid, 3-[[[4-[3-(acetylamino)-3-carboxypropoxy]phenyl][[(1-naphthalenylamino)thioxomethyl]amino]acetyl]amino]- $\alpha$ -(4-hydroxyphenyl)-2-oxo-(9CI) (CA INDEX NAME)

IT 59510-76-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deacylation of)

RN 59510-76-8 CAPLUS

CN 1-Azetidineacetic acid, 3-[[[4-[3-carboxy-3-[[(1-naphthalenylamino)thioxomethyl]amino]propoxy]phenyl][[(1-naphthalenylamino)thioxomethyl]amino]acetyl]amino]-α-(4-hydroxyphenyl)-2-oxo-(9CI) (CA INDEX NAME)

PAGE 2-A

IT 59510-75-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 59510-75-7 CAPLUS

CN 1-Azetidineacetic acid, 3-[[[4-[3-(acetylamino)-3-carboxypropoxy]phenyl][[(1-naphthalenylamino)thioxomethyl]amino]acetyl]ami

- L7 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 1980:181065 CAPLUS
- DN 92:181065
- TI Studies on heterocyclic compounds. Preparation of N1-(4-arylthiazol-2-ylacetylamino)-N2-aryl and N1-(substituted benzothiazol-2-ylacetylamino)-N2-aryl thioureas
- AU Dash, B.; Praharaj, S.; Mohapatra, P. K.
- CS Dep. Chem., Utkal Univ., Bhubaneswar, 751 004, India
- SO Journal of the Institution of Chemists (India) (1979), 51(4), 151-5 CODEN: JOICA7; ISSN: 0020-3254
- DT / Journal
- LA English
- OS CASREACT 92:181065
- AB Thioureas I and II (R = H, Me, Cl, OMe; R1 = optionally substituted Ph, 1-naphthyl; R2 = H, 6-Me, 6-Cl, 6-NO2, 6-Ph, 5-NO2, 4-OMe) were obtained by treating the thiazoleamines with ClCH2COCl and R1NHCSNH2. At 500 ppm I and II caused 60.2-88.8% inhibition of Helminthosporium sativum growth.
- IT 73458-16-9P
  - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
    - (preparation and fungicidal activity of)
- RN 73458-16-9 CAPLUS
- CN Acetamide, 2-[[(1-naphthalenylamino)thioxomethyl]amino]-N-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)

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L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
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AN 1976:421078 CAPLUS

DN 85:21078

TI Azetidinone derivatives

IN Kamiya, Takashi; Yoshihisa, Takarazuka; Hashimoto, Masashi; Teraji, Tsutomu; Takaya, Takao; Komori, Tadaaki; Nakaguti, Osamu; Oku, Teruo; Shiokawa, Youichi; et al.

PA Fujisawa Pharmaceutical Co., Ltd., Japan

SO Ger. Offen., 318 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 2

| FAN. | CNT 2<br>PATENT NO. | KIND   | DATE     | APPLICATION NO. |   | DATE     |
|------|---------------------|--------|----------|-----------------|---|----------|
| ΡI   | DE 2529941          | <br>A1 | 19760408 | DE 1975-2529941 | - | 19750704 |
| PI   | DE 2529941          | AI     | 13/60406 | JP 1974-77091   | Α | 19740704 |
|      |                     |        |          | JP 1974-85526   | A | 19740724 |
|      |                     |        |          | JP 1974-88452   | A | 19740731 |
|      |                     |        |          | JP 1975-2650    | A | 19741223 |
|      | JP 51125061         | A2     | 19761101 | JP 1974-77091   |   | 19740704 |
|      | 01 01120001         |        |          |                 | Α |          |
|      | JP 51125062         | A2     | 19761101 | JP 1974-85526   |   | 19740724 |
|      |                     |        |          |                 | Α |          |
|      | JP 51125064         | A2     | 19761101 | JP 1974-88452   |   | 19740731 |
|      |                     |        |          |                 | Α |          |
|      | JP 51075056         | A2     | 19760629 | JP 1975-2650    |   | 19741223 |
|      |                     |        |          |                 | Α |          |
|      | BE 830934           | A1     | 19760102 | BE 1975-157924  |   | 19750702 |
|      |                     |        |          | JP 1974-77091   | Α | 19740704 |
|      | CH 618161           | Α      | 19800715 | CH 1975-8634    |   | 19750702 |
|      |                     |        |          | JP 1974-77091   | Α | 19740704 |
|      | DK 7503023          | Α      | 19760105 | DK 1975-3023    |   | 19750703 |
|      |                     |        |          | JP 1974-77091   | Α | 19740704 |

|            |   |          | JP 1974-85526                  | Α      | 19740724             |
|------------|---|----------|--------------------------------|--------|----------------------|
|            |   |          | JP 1974-88452                  | Α      | 19740731             |
|            |   |          | JP 1974-100159                 | Α      | 19740830             |
|            |   |          | JP 1974-101712                 | Α      | 19740902             |
|            |   |          | JP 1974-102288                 | Α      | 19740904             |
|            |   |          | JP 1974-136561                 | Α      | 19741126             |
|            |   |          | JP 1974-138137                 | Α      | 19741129             |
|            |   |          | JP 1975-2650                   | Α      | 19741223             |
|            |   |          | JP 1975-3779                   | Α      | 19741225             |
|            |   |          | JP 1975-1272                   | Α      | 19741228             |
|            |   |          | JP 1975-16584                  | Α      | 19750207             |
|            |   |          | JP 1975-18241                  | . A    | 19750212             |
|            |   |          | JP 1975-30356                  | Α      | 19750312             |
|            |   |          | JP 1975-32702                  | Α      | 19750317             |
|            |   |          | JP 1975-32703                  | Α      | 19750317             |
|            |   |          | JP 1975-33292                  | Α      | 19750318             |
|            |   |          | JP 1975-34830                  | Α      | 19750319             |
|            |   |          | JP 1975-33821                  | Α      | 19750320             |
|            |   |          | JP 1975-33822                  | Α      | 19750320             |
| FI 7501949 | Α | 19760105 | FI 1975-1949                   |        | 19750703             |
|            |   |          | JP 1974-77091                  | Α      | 19740704             |
|            |   |          | JP 1974-85526                  | Α      | 19740724             |
|            |   |          | JP 1974-88452                  | Α      | 19740731             |
|            |   |          | JP 1974-100159                 | Α      | 19740830             |
|            |   |          | JP 1974-101712                 | A      | 19740902             |
|            |   |          | JP 1974-102288                 | A      | 19740904             |
|            |   |          | JP 1974-136561                 | A      | 19741126             |
|            |   |          | JP 1974-138137                 | A      | 19741129             |
|            |   |          | JP 1975-2650                   | A      | 19741223             |
|            |   |          | JP 1975-3779                   | A      | 19741225             |
|            |   |          | JP 1975-1272                   | A      | 19741228             |
|            |   |          | JP 1975-16584                  | A      | 19750207             |
|            |   |          | JP 1975-18241                  | A      | 19750212             |
|            |   |          | JP 1975-30356                  | A      | 19750312             |
| •          |   |          | JP 1975-32702<br>JP 1975-32703 | A<br>A | 19750317             |
|            |   |          | JP 1975-33292                  | A<br>A | 19750317<br>19750318 |
|            |   |          | JP 1975-33292<br>JP 1975-34830 | A      | 19750318             |
|            |   |          | JP 1975-33821                  | A      | 19750319             |
|            |   |          | JP 1975-33822                  | A      | 19750320             |
| NO 7502419 | A | 19760106 | NO 1975-2419                   | Α.     | 19750703             |
| 10 /302419 |   | 13700100 | JP 1974-77091                  | Α      | 19740704             |
|            |   |          | JP 1974-85526                  | A      | 19740724             |
|            |   |          | JP 1974-88452                  | A      | 19740731             |
|            |   |          | JP 1974-100159                 | A      | 19740830             |
|            |   |          | JP 1974-101712                 | A      | 19740902             |
|            |   |          | JP 1974-102288                 | A      | 19740904             |
|            |   |          | JP 1974-136561                 | A      | 19741126             |
|            |   |          | JP 1974-138137                 | A      | 19741129             |
|            |   |          | JP 1975-2650                   | Α      | 19741223             |
|            |   |          | JP 1975-1272                   | Α      | 19741228             |
|            |   |          | JP 1975-16584                  | Α      | 19750207             |
|            |   |          | JP 1975-18241                  | Α      | 19750212             |
|            |   |          | JP 1974-30356                  | Α      | 19750312             |
| •          |   |          | JP 1975-32702                  | Α      | 19750317             |
|            |   |          | JP 1975-32703                  | Α      | 19750317             |
|            |   |          | JP 1975-33292                  | A      | 19750318             |
|            |   |          | JP 1975-34830                  | Α      | 19750319             |

| FR 227  |       | A1 | 19760213 | JP  | 1975-33821<br>1975-33822<br>1975-20990 | A<br>A | 19750320<br>19750320<br>19750703 |
|---------|-------|----|----------|-----|--|--------|----------------------------------|
| FR 227  | 8335  | B1 | 19821217 | .TD | 1974-77091                             | Α      | 19740704                         |
|         |       |    |          |     | 1974-77031                             | A      | 19740724                         |
|         |       |    |          |     | 1974-88452                             | A      | 19740731                         |
|         |       |    |          |     | 1975-2650                              | A      | 19741223                         |
| SE 428  | 799   | В  | 19830725 |     | 1975-7683                              |        | 19750703                         |
| SE 428  |       | C  | 19831103 |     | 2376 7666                              |        |                                  |
| 22      |       | _  |          | JР  | 1974-77091                             | Α      | 19740704                         |
|         |       |    |          |     | 1974-85526                             | Α      | 19740724                         |
|         |       |    |          | JP  | 1974-88452                             | Α      | 19740731                         |
|         |       |    |          | JP  | 1974-100159                            | Α      | 19740830                         |
|         |       |    |          | JР  | 1974-101712                            | Α      | 19740902                         |
|         |       |    |          | JР  | 1974-102288                            | Α      | 19740904                         |
|         |       |    |          | JP  | 1974-136561                            | Α      | 19741126                         |
|         |       |    |          |     |  | Α      | 19741129                         |
|         |       |    |          |     | 1975-2650                              | Α      | 19741223                         |
| NL 750  | 8008  | A  | 19760106 |     | 1975-8008                              |        | 19750704                         |
|         |       |    |          |     | 1974-77091                             | A      | 19740704                         |
|         |       |    |          |     | 1974-85526                             | A      | 19740724                         |
|         |       |    |          |     | 1974-88452                             | A      | 19740731                         |
| AU 758  | 2778  | A1 | 19770106 |     | 1975-82778                             | _      | 19750704                         |
|         |       |    |          |     | 1974-77091                             | A      | 19740704                         |
|         |       |    |          |     | 1974-85526                             | A      | 19740724                         |
|         |       |    |          |     | 1974-88452                             | A      | 19740731                         |
|         |       |    |          |     | 1974-100159                            | A<br>N | 19740830<br>19740902             |
|         |       |    |          |     | 1974-101712<br>1974-102288             | A<br>A | 19740902                         |
|         |       |    |          |     | 1974-102288                            | A      | 19741126                         |
|         |       |    |          |     | 1974-138137                            | A      | 19741129                         |
|         |       |    |          |     | 1975-2650                              | A      | 19741223                         |
|         |       |    |          |     | 1975-3779                              | A      | 19741225                         |
|         |       |    |          |     | 1975-1272                              | A      | 19741228                         |
|         |       |    |          | _   | 1975-16584                             | A      | 19750207                         |
|         |       |    |          |     | 1975-18241                             | Α      | 19750212                         |
|         |       |    |          |     | 1975-30356                             | Α      | 19750312                         |
|         |       |    |          | JP  | 1975-32702                             | Α      | 19750317                         |
|         |       |    |          | JP  | 1975-32703                             | Α      | 19750317                         |
|         |       |    |          | JP  | 1975-33292                             | Α      | 19750318                         |
|         |       |    |          |     | 1975-34830                             | Α      | 19750319                         |
|         |       |    |          |     | 1975-33821                             | Α      | 19750320                         |
|         |       |    |          |     | 1975-33822                             | Α      | 19750320                         |
| ES 439  | 134   | A1 | 19770301 |     | 1975-439134                            |        | 19750704                         |
|         |       |    |          |     | 1974-77091                             | A      | 19740704                         |
| ZA 750  | 4306  | A  | 19770525 |     | 1975-4306                              |        | 19750704                         |
|         |       | _  | 1000000  |     | 1974-77091                             | A      | 19740704                         |
| GB 151  | .9495 | Α  | 19780726 |     | 1975-28394                             | 7.     | 19750704                         |
|         |       |    |          |     | 1974-77091                             | A      | 19740704<br>19740724             |
|         |       |    |          |     | 1974-85526<br>1974-88452               | A<br>A | 19740724                         |
|         |       |    |          |     | 1974-88452                             | A      | 19741731                         |
| HU 172  | 476   | P  | 19780928 |     | 1975-FU336                             | •      | 19750704                         |
| 110 1/2 |       | •  | 17.00720 |     | 1974-77091                             | Α      | 19740704                         |
| AT 750  | 5170  | A  | 19790715 |     | 1975-5170                              |        | 19750704                         |
| AT 355  |       | В  | 19800211 |     |  |        |                                  |
|         |       |    |          | JP  | 1974-77091                             | Α      | 19740704                         |
|         |       |    |          |     |  |        |                                  |

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|      | CA 1063108  | A1                                       | 19790925   | CA 1975-230828  |                                 | 19750704   |
|------|---|--|--|---|---------------------------------|--|
|      |   |  |  | JP 1974-77091   | Α                               | 19740704   |
|      | AT 7806099  | Α  | 19790915   | AT 1978-6099  |                                 | 19780822   |
|      |   |  |  | JP 1974-88452   | Α                               | 19740731   |
|      |   |  |  | AT 1975-5170  | Α                               | 19750704   |
|      | AT 7806098  | Α  | 19800415   | AT 1978-6098  |                                 | 19780822   |
|      | AT 359514   | В  | 19801110   |   |                                 |  |
|      | 111 333311  |  | 2,001110   | JP 1974-85526   | Δ                               | 19740724   |
|      |   |  |  | AT 1975-5170  |                                 | 19750704   |
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AB After the antibiotic FR-1923 (obtained from fermentation liquor of Nocardia) was identified as I, 543 analogs [II; R = NH2 or acylamino; R1 = alkyl (saturated or unsatd., straight-chain or branched) with substituents, e.g., CO2H (or its derivs.), CN, OH, NH2, Ph or substituted Ph] were prepared by standard procedures and shown to be effective against, e.g., Bacillus subtilis, Escherichia coli, and Staphylococcus aureus.

### IT 59510-75-7P 59510-76-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and hydrolysis of)

- RN 59510-75-7 CAPLUS
- CN 1-Azetidineacetic acid, 3-[[[4-[3-(acetylamino)-3-carboxypropoxy]phenyl][[(1-naphthalenylamino)thioxomethyl]amino]- $\alpha$ -(4-hydroxyphenyl)-2-oxo-(9CI) (CA INDEX NAME)

RN 59510-76-8 CAPLUS CN 1-Azetidineacetic acid,  $3-[[4-[3-carboxy-3-[[(1-naphthalenylamino)thioxomethyl]amino]propoxy]phenyl][[(1-naphthalenylamino)thioxomethyl]amino]acetyl]amino]-<math>\alpha$ -(4-hydroxyphenyl)-2-oxo- (9CI) (CA INDEX NAME)

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